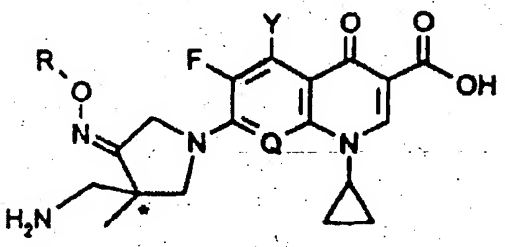
CLAIMS

1. An optically active quinoline carboxylic acid derivatives represented by the following formula 1, containing optical activity-causing 4-aminomethyl-4-methyl-3-(Z)-alkoxyiminopyrrolidine substituents at the 7-position of the quinolone nuclei, their pharmaceutically acceptable salts, and their solvates.

Formula 1



Wherein,

Q is C-H, C-F, C-Cl or N;

Y is H or NH_2;

R is a straight or branched alkyl group of C_1-C_4, an allyl group or a benzyl group, and

* represents optically pure chiral carbon atom.

2. The optically active quinoline carboxylic acid

derivatives, their pharmaceutically acceptable salts, and their solvates according to claim 1, wherein Q is C-H, C-F or N; Y is H or NH_2; and R is an alkyl group of C_1-C_2 or an allyl group.

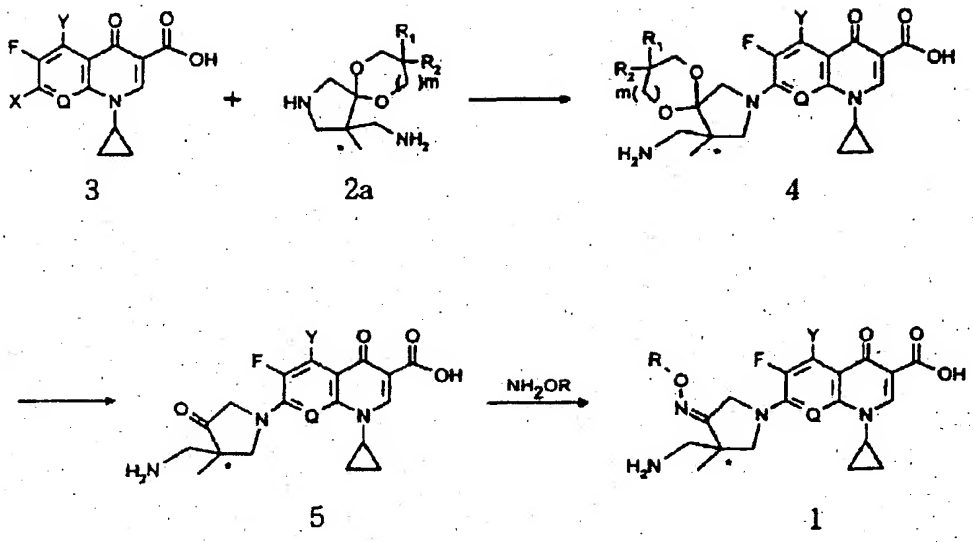
3. A process for preparing an optically active quinoline carboxylic acid derivatives of claim 1 comprises the steps:

1) condensing the quinolone nuclei-containing compound of formula 3, with the ketal compound of formula 2a, in the presence of an acid acceptor to give the optically active quinoline carboxylic acid derivative of formula 4;

2) deketalizing the optically active quinoline carboxylic acid derivative of formula 4 to give the pyrrolidinone compound of formula 5; and

3) reacting the pyrrolidinone compound of formula 5 with an alkoxylamine in the presence of a base to obtain the desired compound of formula 1.

Scheme 1



Wherein, Q, Y, R, and * are each defined as above; X is a halogen atom, preferably to a fluorine or a chlorine atom; R_1 and R_2 are H or methyl, R_1 and R_2 are the same; and m is 0 or 1.

4. A process for preparing an optically active quinoline carboxylic acid derivatives of claim 1 comprises the steps:

1) condensing the quinolone nuclei-containing compound of formula 3, with the ketal compound having a protected amine group of formula 2b, in the presence of an acid acceptor to give the intermediate of formula 6;

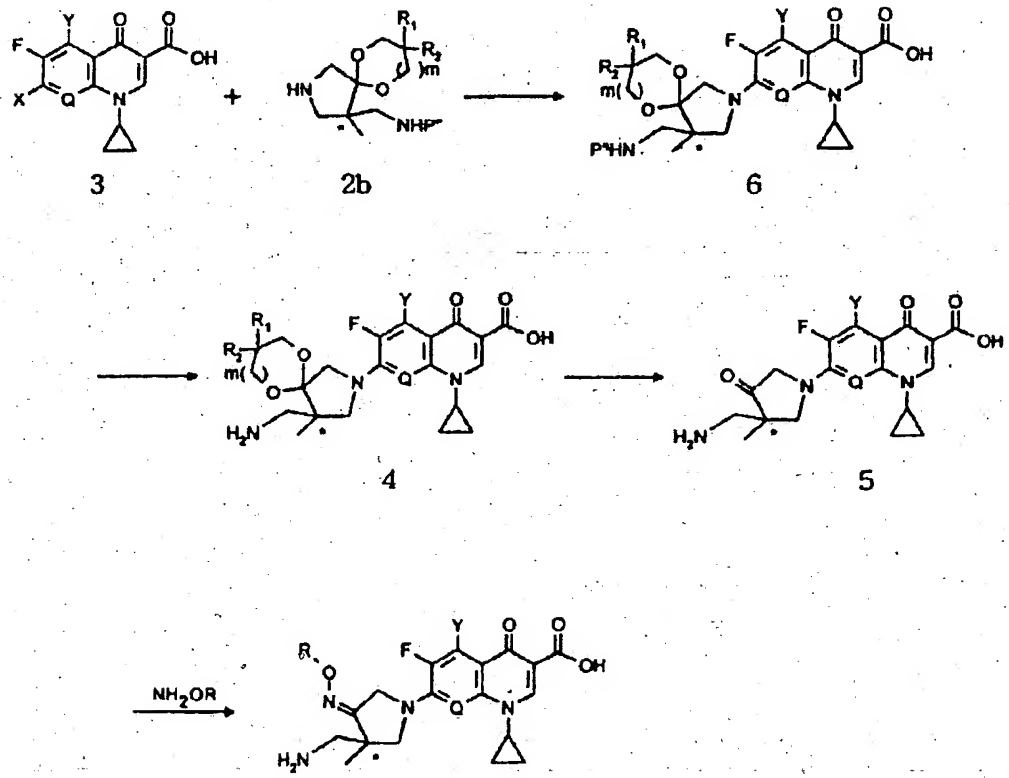
2) deprotecting the amine-protecting group (p") from the intermediate of formula 6, in the presence of an acid to

give the compound of formula 4:

3) deketalizing the compound of formula 4 to give the pyrrolidinone compound of formula 5; and

4) reacting the pyrrolidinone compound of formula 5 with an alkoxylamine to obtain the desired compound of formula 1.

Scheme 2

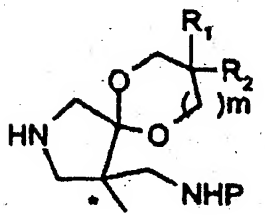


Wherein, Q, X, Y, R, R_1, R_2, m and * are each defined as above; and P" is an amine-protecting group.

5. The process for preparing an optically active quinoline carboxylic acid derivatives of claim 1 according to claim 4, wherein the acid is selected from the group consisting of hydrochloric acid, hydrobromic acid, sulfuric acid, trifluoroacetic acid and methanesulfonic acid for not only the deprotecting an amine-protecting group P", but also the deketalizing of ketal group.

6. An optically active ketal derivative containing a chiral carbon atom at the 4-position of the pyrrolidine moiety, represented by formula 2.

Formula 2



Wherein, R_1 and R_2 are H or methyl, R_1 and R_2 are the same; P is H or an amine-protecting group; m is 0 or 1; and * represents optically pure chiral carbon atom.